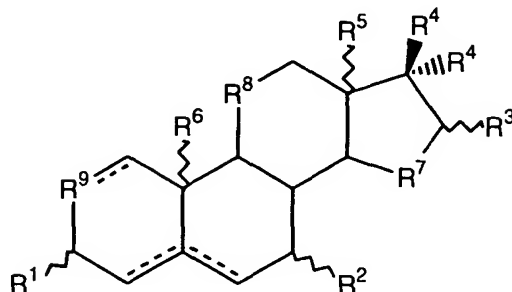


CLAIMS

(000703) What is claimed is:

(000704) 1. A compound having the structure



(000705)

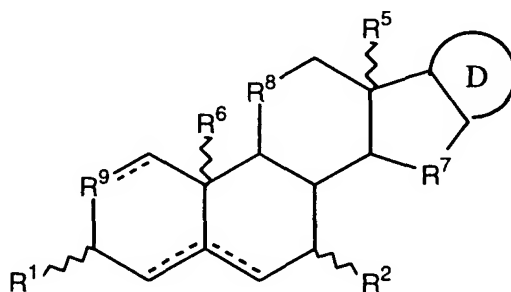
5 (000706) wherein the dotted lines are optional double bonds;

(000707) R¹ is -H;

(000708) R² is -OH, -OR^{PR}, =O, -SH, -SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

15 (000709) R³ is -OH, -OR^{PR}, -SH, -SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an
20
25 oligonucleotide or a polymer;

(000710) R^4 independently are -H, -OH, $-OR^{PR}$, =O, -SH, $-SR^{PR}$, =S, =CH₂, =CH(CH₂)₀₋₁₅CH₃, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R^{13})₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, provided that both R^4 are not -H, or R^3 and both R^4 together comprise a structure of formula 2



(000711)

2;

(000712) R^5 and R^6 independently are -H, -OH, $-OR^{PR}$, -SH, $-SR^{PR}$, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R^{13})₃, -CN, -CH₃, -NO₂, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or,

(000713) R^7 is -CHR¹⁰-, -CHR¹⁰-CHR¹⁰-, -CHR¹⁰-CHR¹⁰-CHR¹⁰-, -CHR¹⁰-O-CHR¹⁰-, -CHR¹⁰-S-CHR¹⁰-, -CHR¹⁰-NR^{PR}-CHR¹⁰-, -O-, -O-CHR¹⁰-, -S-, -S-CHR¹⁰-, -NR^{PR}- or -NR^{PR}-CHR¹⁰-, wherein R^{10} independently are -H, -OH, $-OR^{PR}$, =O, -SH, -

SR^{PR} , $=S$, $=CH_2$, $-N_3$, $-NH_2$, $-N(R^{PR})_2$, $-O-Si-(R^{13})_3$, $-CN$, $-NO_2$, $=NOH$, $=NOC(O)CH_3$, $-C(O)-CH_3$, $-F$, $-Cl$, $-Br$, $-I$, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000714) R^8 is $-CHR^{10}-$, $-CHR^{10}-CHR^{10}-$, $-O-$, $-O-CHR^{10}-$, $-S-$, $-S-CHR^{10}-$, $-NR^{PR}-$ or $-NR^{PR}-CHR^{10}-$, or R^8 is absent, leaving a 5-membered ring, wherein R^{10} independently are $-H$, $-OH$, $-OR^{PR}$, $=O$, $-SH$, $-SR^{PR}$, $=S$, $=CH_2$, $-N_3$, $-NH_2$, $-N(R^{PR})_2$, $-O-Si-(R^{13})_3$, $-CN$, $-NO_2$, $=NOH$, $=NOC(O)CH_3$, $-C(O)-CH_3$, $-F$, $-Cl$, $-Br$, $-I$, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000715) R^9 is $-CHR^{10}-$, $-CHR^{10}-CHR^{10}-$, $-O-$, $-O-CHR^{10}-$, $-S-$, $-S-CHR^{10}-$, $-NR^{PR}-$ or $-NR^{PR}-CHR^{10}-$, or R^9 is absent, leaving a 5-membered ring, wherein R^{10} independently are $-H$, $-SH$, $-SR^{PR}$, $=S$, $=CH_2$, $-N_3$, $-NH_2$, $-N(R^{PR})_2$, $-O-Si-(R^{13})_3$, $-CN$, $-NO_2$, $=NOH$, $=NOC(O)CH_3$, $-C(O)-CH_3$, $-F$, $-Cl$, $-Br$, $-I$, a thioester, an amide, an amino acid, a peptide, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000716) R^{10} independently are -OH, -OR^{PR}, =O, -SH, -SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide,
5 an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a
10 nucleotide, an oligonucleotide or a polymer;

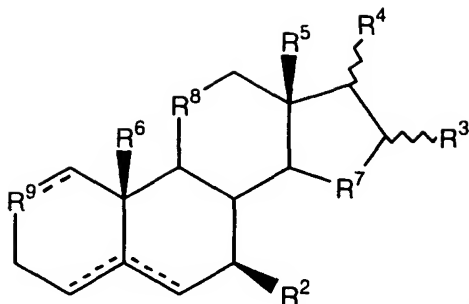
(000717) D is a heterocycle or a 4-, 5-, 6- or 7-membered ring that comprises saturated carbon atoms, wherein 1, 2 or 3 ring carbon atoms of the 4-, 5-, 6- or 7-membered ring are optionally independently substituted with -O-, -S- or -NR^{PR}- or where 1, 2 or 3 hydrogen atoms of the heterocycle or 1 or 2 hydrogen atoms of the
15 4-, 5-, 6- or 7-membered ring are substituted with -OR^{PR}, -SR^{PR}, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, a halogen, an optionally substituted alkyl
20 group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or, one more of the ring carbons are substituted with =O or =S, or D comprises two 5- or 6-
25 membered rings, wherein the rings are fused or are linked by 1 or 2 bonds, provided that the compound is not 3 β -hydroxyandrost-5-ene-17-one, 3 β -hydroxyandrost-5-ene-17-one 3-sulfate or an ester or ether derivative of either compound;

(000718) R^{PR} is a protecting group;

(000719) R¹³ independently are C1-C6 alkyl.

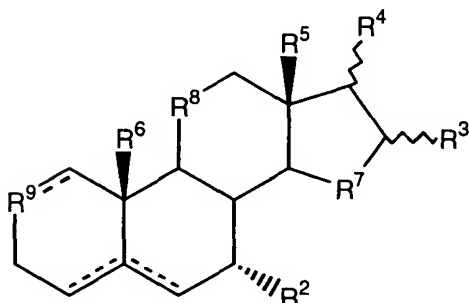
30 (000720) 2. The compound of claim 1 having the structure

(000721)



or

(000722)



(000723) 3. The compound of claim 2 wherein the compound is 7 β -hydroxy-16 α -haloandrost-5-ene-17-one, 7 α -hydroxy-16 α -haloandrost-5-ene-17-one, 16 α -haloandrost-5-ene-7,17-dione, 7 β ,17 β -dihydroxy-16 α -haloandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -haloandrost-5-ene, 7 β -hydroxy-16 α -haloandrostane-17-one, 7 α -hydroxy-16 α -haloandrostane-17-one, 16 α -haloandrostane-7,17-dione, 7 β ,17 β -dihydroxy-16 α -haloandrostane, 7 α ,17 β -dihydroxy-16 α -haloandrostane, 7 β -hydroxy-16 α -halo-5 β -androstane-17-one, 7 α -hydroxy-16 α -halo-5 β -androstane-17-one, 16 α -halo-5 β -androstane-7,17-dione, 7 β ,17 β -dihydroxy-16 α -halo-5 β -androstane or 7 α ,17 β -dihydroxy-16 α -halo-5 β -androstane.

(000724) 4. The compound of claim 3 wherein the compound is 7 β -hydroxy-16 α -fluoroandrost-5-ene-17-one, 7 α -hydroxy-16 α -fluoroandrost-5-ene-17-one, 16 α -fluoroandrost-5-ene-7,17-dione, 7 β ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 β -hydroxy-16 α -fluoroandrostane-17-one, 7 α -hydroxy-16 α -fluoroandrostane-17-one, 16 α -fluoroandrostane-7,17-dione, 7 β ,17 β -dihydroxy-16 α -fluoroandrostane or 7 α ,17 β -dihydroxy-16 α -fluoroandrostane.

(000725) 5. The compound of claim 4 wherein the compound is 7 β -hydroxy-16 α -fluoroandrost-5-ene-17-one.

(000726) 6. The compound of claim 3 wherein the halogen is bromine.

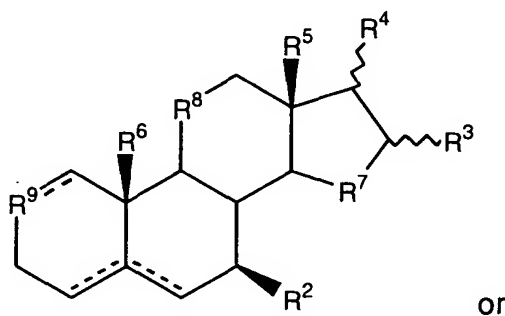
(000727) 7. The compound of claim 6 wherein the compound is 7 β -hydroxy-16 α -bromoandrost-5-ene-17-one, 7 α -hydroxy-16 α -bromoandrost-5-ene-17-one, 16 α -bromoandrost-5-ene-7,17-dione, 7 β ,17 β -dihydroxy-16 α -bromoandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -bromoandrost-5-ene, 7 β -hydroxy-16 α -bromoandrostane-17-one, 7 α -hydroxy-16 α -bromoandrostane-17-one, 16 α -bromoandrostane-7,17-dione, 7 β ,17 β -dihydroxy-16 α -bromoandrostane or 7 α ,17 β -dihydroxy-16 α -bromoandrostane.

(000728) 8. A formulation comprising one or more excipients and a compound of claim 1.

10 (000729) 9. The formulation of claim 8 wherein the compound has.

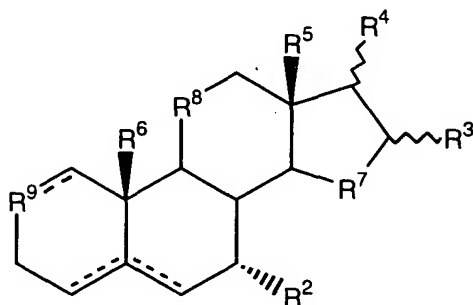
(000730) the structure

(000731)



or

(000732)

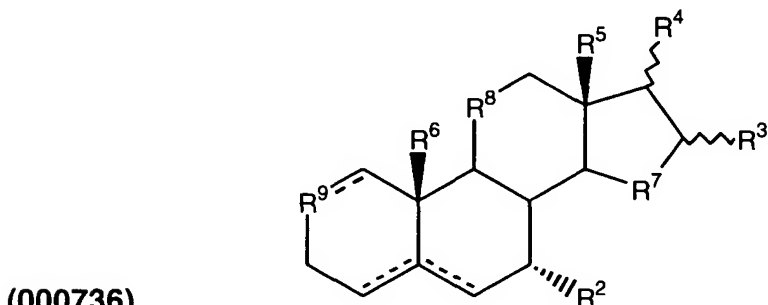
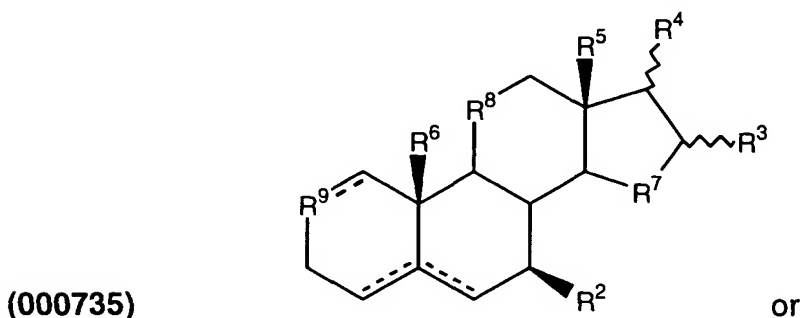


(000733) 10. The formulation of claim 8 wherein the compound is 7 β -hydroxy-

15 16 α -fluoroandrost-5-ene-17-one, 7 α -hydroxy-16 α -fluoroandrost-5-ene-17-one, 16 α -fluoroandrost-5-ene-7,17-dione, 7 β ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 β -hydroxy-16 α -fluoroandrostane-17-one, 7 α -hydroxy-16 α -fluoroandrostane-17-one, 16 α -fluoroandrostane-7,17-dione, 7 β ,17 β -dihydroxy-16 α -fluoroandrostane, 7 α ,17 β -dihydroxy-16 α -fluoroandrostane, 7 β -
20 hydroxy-16 α -bromoandrost-5-ene-17-one, 7 α -hydroxy-16 α -bromoandrost-5-ene-17-

one, 16 α -bromoandrost-5-ene-7,17-dione, 7 β ,17 β -dihydroxy-16 α -bromoandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -bromoandrost-5-ene, 7 β -hydroxy-16 α -bromoandrostane-17-one, 7 α -hydroxy-16 α -bromoandrostane-17-one, 16 α -bromoandrostane-7,17-dione, 7 β ,17 β -dihydroxy-16 α -bromoandrostane or 7 α ,17 β -dihydroxy-16 α -bromoandrostane.

(000734) 11. A method to treat a subject having, or subject to developing, diabetes, hyperglycemia or a hyperlipidemia, comprising administering to the subject an effective amount of a compound having the structure



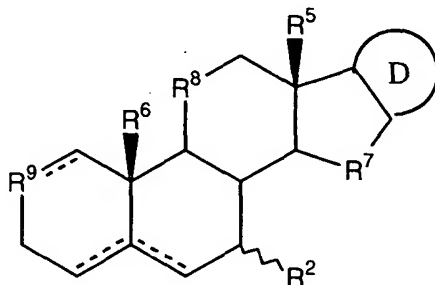
(000736)

(000737) wherein R² is -OH, -OR^{PR}, =O, -SH, -SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000738) R³ is -OH, -OR^{PR}, -SH, -SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a

thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000739) R^4 is -OH, -OR^{PR}, =O, -SH, -SR^{PR}, =S, =CH₂, =CH(CH₂)₀₋₁₅CH₃, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or R³ and both R⁴ together comprise a structure of formula 2



(000740) 2;

(000741) R^5 and R^6 independently are -H, -OH, -OR^{PR}, -SH, -SR^{PR}, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -CH₃, -NO₂, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an

optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or,

- 5 **(000742)** R^7 is $-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{CHR}^{10}-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{O}-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{S}-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{NR}^{\text{PR}}-\text{CHR}^{10}-$, $-\text{O}-$, $-\text{O}-\text{CHR}^{10}-$, $-\text{S}-$, $-\text{S}-\text{CHR}^{10}-$, $-\text{NR}^{\text{PR}}-$ or $-\text{NR}^{\text{PR}}-\text{CHR}^{10}-$, wherein R^{10} independently are $-\text{H}$, $-\text{OH}$, $-\text{OR}^{\text{PR}}$, $=\text{O}$, $-\text{SH}$, $-\text{SR}^{\text{PR}}$, $=\text{S}$, $=\text{CH}_2$, $-\text{N}_3$, $-\text{NH}_2$, $-\text{N}(\text{R}^{\text{PR}})_2$, $-\text{O}-\text{Si}-(\text{R}^{13})_3$, $-\text{CN}$, $-\text{NO}_2$, $=\text{NOH}$, $=\text{NOC}(\text{O})\text{CH}_3$, $-\text{C}(\text{O})-\text{CH}_3$, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

- (000743)** R^8 is $-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{CHR}^{10}-$, $-\text{O}-$, $-\text{O}-\text{CHR}^{10}-$, $-\text{S}-$, $-\text{S}-\text{CHR}^{10}-$, $-\text{NR}^{\text{PR}}-$ or $-\text{NR}^{\text{PR}}-\text{CHR}^{10}-$, or R^8 is absent, leaving a 5-membered ring, wherein R^{10} independently are $-\text{H}$, $-\text{OH}$, $-\text{OR}^{\text{PR}}$, $=\text{O}$, $-\text{SH}$, $-\text{SR}^{\text{PR}}$, $=\text{S}$, $=\text{CH}_2$, $-\text{N}_3$, $-\text{NH}_2$, $-\text{N}(\text{R}^{\text{PR}})_2$, $-\text{O}-\text{Si}-(\text{R}^{13})_3$, $-\text{CN}$, $-\text{NO}_2$, $=\text{NOH}$, $=\text{NOC}(\text{O})\text{CH}_3$, $-\text{C}(\text{O})-\text{CH}_3$, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

- 25 **(000744)** R^9 is $-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{CHR}^{10}-$, $-\text{O}-$, $-\text{O}-\text{CHR}^{10}-$, $-\text{S}-$, $-\text{S}-\text{CHR}^{10}-$, $-\text{NR}^{\text{PR}}-$ or $-\text{NR}^{\text{PR}}-\text{CHR}^{10}-$, or R^9 is absent, leaving a 5-membered ring, wherein R^{10} independently are $-\text{H}$, $-\text{SH}$, $-\text{SR}^{\text{PR}}$, $=\text{S}$, $=\text{CH}_2$, $-\text{N}_3$, $-\text{NH}_2$, $-\text{N}(\text{R}^{\text{PR}})_2$, $-\text{O}-\text{Si}-(\text{R}^{13})_3$, $-\text{CN}$, $-\text{NO}_2$, $=\text{NOH}$, $=\text{NOC}(\text{O})\text{CH}_3$, $-\text{C}(\text{O})-\text{CH}_3$, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, a thioester, an amide, an amino acid, a peptide, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000745) D is a heterocycle or a 4-, 5-, 6- or 7-membered ring that comprises saturated carbon atoms, wherein 1, 2 or 3 ring carbon atoms of the 4-, 5-, 6- or 7-membered ring are optionally independently substituted with -O-, -S- or -NR^{PR}- or where 1, 2 or 3 hydrogen atoms of the heterocycle or 1 or 2 hydrogen atoms of the 4-, 5-, 6- or 7-membered ring are substituted with -OR^{PR}, -SR^{PR}, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, a halogen, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or, one more of the ring carbons are substituted with =O or =S, or D comprises two 5- or 6-membered rings, wherein the rings are fused or are linked by 1 or 2 bonds, provided that the compound is not 3β-hydroxyandrost-5-ene-17-one, 3β-hydroxyandrost-5-ene-17-one 3-sulfate or an ester or ether derivative of either compound;

(000746) R^{PR} is a protecting group;

(000747) R¹³ independently are C1-C6 alkyl.

(000748) 12. The method of claim 11 wherein the compound is 7β,17β-dihydroxy-16α-haloandrost-5-ene, 7α,17β-dihydroxy-16α-haloandrost-5-ene, 7β-hydroxy-16α-haloandrost-5-ene-17-one, 7α-hydroxy-16α-haloandrost-5-ene-17-one, 7β,17β-dihydroxy-16α-haloandrost-4-ene, 7β-hydroxy-16α-haloandrost-4-ene-17-one, 7α,17β-dihydroxy-16α-haloandrostane, 7α-hydroxy-16α-haloandrostane-17-

one, 7 β ,17 β -dihydroxy-16 α -haloandrostane, 7 β -hydroxy-16 α -haloandrostane-17-one, 7 α ,17 β -dihydroxy-16 α -halo-5 β -androstane, 7 α -hydroxy-16 α -halo-5 β -androstane-17-one, 7 β ,17 β -dihydroxy-16 α -halo-5 β -androstane or 7 β -hydroxy-16 α -halo-5 β -androstane-17-one.

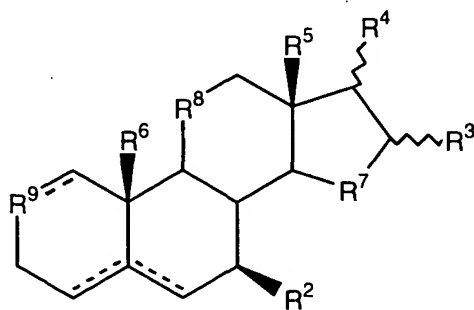
- 5 (000749) 13. The method of claim 12 wherein the compound is 7 β ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 β -hydroxy-16 α -fluoroandrost-5-ene-17-one, 7 α -hydroxy-16 α -fluoroandrost-5-ene-17-one, 7 β ,17 β -dihydroxy-16 α -fluoroandrost-4-ene, 7 β -hydroxy-16 α -fluoroandrost-4-ene-17-one, 7 α ,17 β -dihydroxy-16 α -fluoroandrostane, 7 α -hydroxy-16 α -fluoroandrostane-17-one, 7 β ,17 β -dihydroxy-16 α -fluoroandrostane, 7 β -hydroxy-16 α -fluoroandrostane-17-one, 7 α ,17 β -dihydroxy-16 α -fluoro-5 β -androstane, 7 α -hydroxy-16 α -fluoro-5 β -androstane-17-one, 7 β ,17 β -dihydroxy-16 α -fluoro-5 β -androstane or 7 β -hydroxy-16 α -fluoro-5 β -androstane-17-one.

- (000750) 14. The method of claim 13 wherein the compound is 7 β -hydroxy-16 α -fluoroandrost-5-ene-17-one.

(000751) 15. The method of claim 11 wherein the hyperlipidemia is hypercholesterolemia.

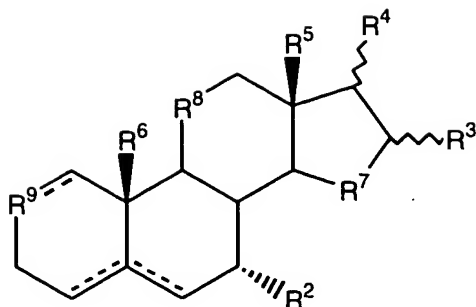
(000752) 16. The method of claim 11 wherein the level or activity of PPAR α , LXR α or SF-1 is modulated in the subject.

- 20 (000753) 17. A method to treat a subject having, or subject to developing, an inflammation condition, comprising administering to the subject an effective amount of a compound having the structure



(000754)

or



(000755)

(000756) wherein R² is -OH, -OR^{PR}, =O, -SH, -SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted

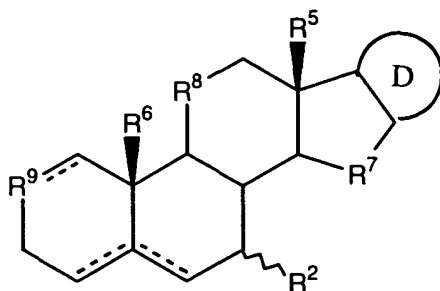
oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000757) R³ is -OH, -OR^{PR}, -SH, -SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an

oligonucleotide or a polymer;

(000758) R⁴ is -OH, -OR^{PR}, =O, -SH, -SR^{PR}, =S, =CH₂, =CH(CH₂)₀₋₁₅CH₃, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an optionally substituted alkenyl

group, an optionally substituted alkynyl group, an optionally substituted aryl moiety,
an optionally substituted heteroaryl moiety, an optionally substituted
monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a
nucleotide, an oligonucleotide or a polymer, or R^3 and both R^4 together comprise a
5 structure of formula 2



(000759)

2;

(000760) R^5 and R^6 independently are -H, -OH, -OR^{PR}, -SH, -SR^{PR}, -N₃, -NH₂, -
N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -CH₃, -NO₂, -C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a
thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester,
10 a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a
thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an
optionally substituted alkyl group, an optionally substituted alkenyl group, an
optionally substituted alkynyl group, an optionally substituted aryl moiety, an
optionally substituted heteroaryl moiety, an optionally substituted monosaccharide,
15 an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an
oligonucleotide or a polymer, or,

(000761) R^7 is -CHR¹⁰-, -CHR¹⁰-CHR¹⁰-, -CHR¹⁰-CHR¹⁰-CHR¹⁰-, -CHR¹⁰-O-
CHR¹⁰-, -CHR¹⁰-S-CHR¹⁰-, -CHR¹⁰-NR^{PR}-CHR¹⁰-, -O-, -O-CHR¹⁰-, -S-, -S-CHR¹⁰-, -
NR^{PR}- or -NR^{PR}-CHR¹⁰-, wherein R^{10} independently are -H, -OH, -OR^{PR}, =O, -SH, -
20 SR^{PR}, =S, =CH₂, -N₃, -NH₂, -N(R^{PR})₂, -O-Si-(R¹³)₃, -CN, -NO₂, =NOH, =NOC(O)CH₃,
-C(O)-CH₃, -F, -Cl, -Br, -I, an ester, a thioester, a phosphoester, a phosphothioester,
a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an
amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a
carbonate, a carbamate, a thioacetal, an optionally substituted alkyl group, an
25 optionally substituted alkenyl group, an optionally substituted alkynyl group, an
optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an

optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

(000762) R^8 is $-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{CHR}^{10}-$, $-\text{O}-$, $-\text{O}-\text{CHR}^{10}-$, $-\text{S}-$, $-\text{S}-\text{CHR}^{10}-$, $-\text{NR}^{\text{PR}}-$ or $-\text{NR}^{\text{PR}}-\text{CHR}^{10}-$, or R^8 is absent, leaving a 5-membered ring, wherein R^{10}

5 independently are $-\text{H}$, $-\text{OH}$, $-\text{OR}^{\text{PR}}$, $=\text{O}$, $-\text{SH}$, $-\text{SR}^{\text{PR}}$, $=\text{S}$, $=\text{CH}_2$, $-\text{N}_3$, $-\text{NH}_2$, $-\text{N}(\text{R}^{\text{PR}})_2$, $-\text{O}-\text{Si}-(\text{R}^{13})_3$, $-\text{CN}$, $-\text{NO}_2$, $=\text{NOH}$, $=\text{NOC}(\text{O})\text{CH}_3$, $-\text{C}(\text{O})-\text{CH}_3$, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an
10 optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

15 **(000763)** R^9 is $-\text{CHR}^{10}-$, $-\text{CHR}^{10}-\text{CHR}^{10}-$, $-\text{O}-$, $-\text{O}-\text{CHR}^{10}-$, $-\text{S}-$, $-\text{S}-\text{CHR}^{10}-$, $-\text{NR}^{\text{PR}}-$ or $-\text{NR}^{\text{PR}}-\text{CHR}^{10}-$, or R^9 is absent, leaving a 5-membered ring, wherein R^{10} independently are $-\text{H}$, $-\text{SH}$, $-\text{SR}^{\text{PR}}$, $=\text{S}$, $=\text{CH}_2$, $-\text{N}_3$, $-\text{NH}_2$, $-\text{N}(\text{R}^{\text{PR}})_2$, $-\text{O}-\text{Si}-(\text{R}^{13})_3$, $-\text{CN}$, $-\text{NO}_2$, $=\text{NOH}$, $=\text{NOC}(\text{O})\text{CH}_3$, $-\text{C}(\text{O})-\text{CH}_3$, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, a thioester, an amide, an amino acid, a peptide, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer;

25 **(000764)** D is a heterocycle or a 4-, 5-, 6- or 7-membered ring that comprises saturated carbon atoms, wherein 1, 2 or 3 ring carbon atoms of the 4-, 5-, 6- or 7-membered ring are optionally independently substituted with $-\text{O}-$, $-\text{S}-$ or $-\text{NR}^{\text{PR}}-$ or where 1, 2 or 3 hydrogen atoms of the heterocycle or 1 or 2 hydrogen atoms of the 4-, 5-, 6- or 7-membered ring are substituted with $-\text{OR}^{\text{PR}}$, $-\text{SR}^{\text{PR}}$, $-\text{N}(\text{R}^{\text{PR}})_2$, $-\text{O}-\text{Si}-(\text{R}^{13})_3$, $-\text{CN}$, $-\text{NO}_2$, an ester, a thioester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an
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amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a thioacetal, a halogen, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or, one more of the ring carbons are substituted with =O or =S, or D comprises two 5- or 6-membered rings, wherein the rings are fused or are linked by 1 or 2 bonds, provided that the compound is not 3 β -hydroxyandrost-5-ene-17-one, 3 β -hydroxyandrost-5-ene-17-one 3-sulfate or an ester or ether derivative of either compound;

(000765) R^{PR} is a protecting group;

(000766) R¹³ independently are C1-C6 alkyl.

(000767) 18. The method of claim 17 wherein the compound is 7 β ,17 β -dihydroxy-16 α -haloandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -haloandrost-5-ene, 7 β -hydroxy-16 α -haloandrost-5-ene-17-one, 7 β ,17 β -dihydroxy-16 α -haloandrost-4-ene, 7 β -hydroxy-16 α -haloandrost-4-ene-17-one, 7 α ,17 β -dihydroxy-16 α -haloandrostane, 7 α -hydroxy-16 α -haloandrostane-17-one, 7 β ,17 β -dihydroxy-16 α -haloandrostane, 7 β -hydroxy-16 α -haloandrostane-17-one, 7 α ,17 β -dihydroxy-16 α -halo-5 β -androstane, 7 α -hydroxy-16 α -halo-5 β -androstane-17-one, 7 β ,17 β -dihydroxy-16 α -halo-5 β -androstane or 7 β -hydroxy-16 α -halo-5 β -androstane-17-one.

(000768) 19. The method of claim 18 wherein the compound is 7 β ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 α ,17 β -dihydroxy-16 α -fluoroandrost-5-ene, 7 β -hydroxy-16 α -fluoroandrost-5-ene-17-one, 7 β ,17 β -dihydroxy-16 α -fluoroandrost-4-ene, 7 β -hydroxy-16 α -fluoroandrost-4-ene-17-one, 7 α ,17 β -dihydroxy-16 α -fluoroandrostane, 7 α -hydroxy-16 α -fluoroandrostane-17-one, 7 β ,17 β -dihydroxy-16 α -fluoroandrostane, 7 β -hydroxy-16 α -fluoroandrostane-17-one, 7 α ,17 β -dihydroxy-16 α -fluoro-5 β -androstane, 7 α -hydroxy-16 α -fluoro-5 β -androstane-17-one, 7 β ,17 β -dihydroxy-16 α -fluoro-5 β -androstane or 7 β -hydroxy-16 α -fluoro-5 β -androstane-17-one.

(000769) 20. The method of claim 17 wherein the inflammation condition is atopic asthma, allergic respiratory disease, allergic rhinitis, atopic dermatitis, subepithelial fibrosis in airway hyperresponsiveness, chronic sinusitis, perennial allergic rhinitis, allergic bronchopulmonary aspergillosis in cystic fibrosis patients,
5 Crohn's disease, ulcerative colitis, inflammatory bowel disease or fibrosing alveolitis.

(000770) 21. The method of claim 18 wherein the compound is $7\beta,17\beta$ -dihydroxy- 16α -fluoroandrost-5-ene or 7β -hydroxy- 16α -fluoroandrost-5-ene-17-one.